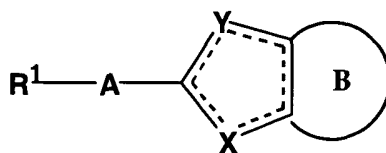


# CLAIMS

1. A compound of the formula (I):



(I)

wherein A is  $-NR(C=O)$ ,  $-(C=O)NR$ ,  $(C_2-C_6)$ alkynyl-, or a bond;

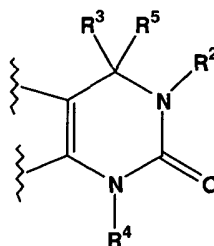
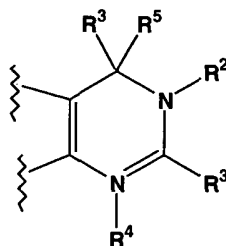
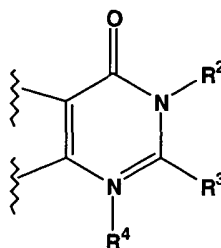
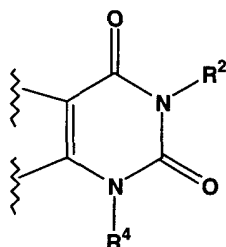
X is selected from  $-N=$ ,  $-NR^9$ ,  $-O-$ ,  $-S-$ ,  $-CR^{10}-$ ,  $>C(R^{11})_2$ ,

Y is selected from  $-N=$ ,  $-NR^9$ ,  $-O-$ ,  $-S-$ ,  $-CR^{10}-$ ,  $>C(R^{11})_2$ ;

with the proviso that when Y is O or S, X is not O or S;

dashed lines represent optional double bonds;

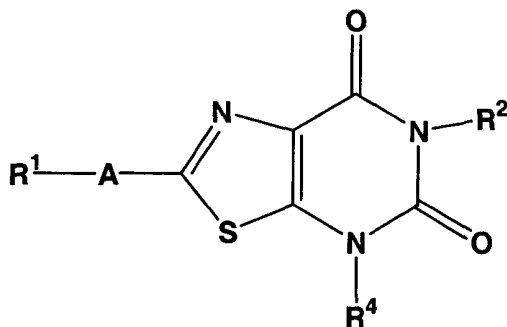
ring B is selected from the group consisting of:

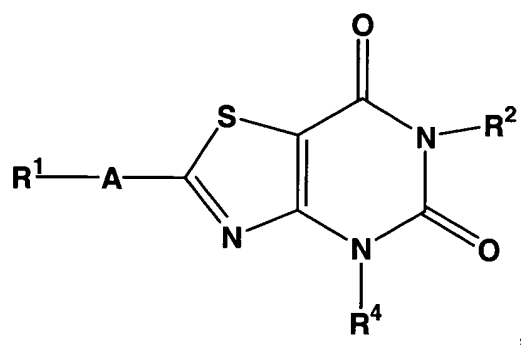
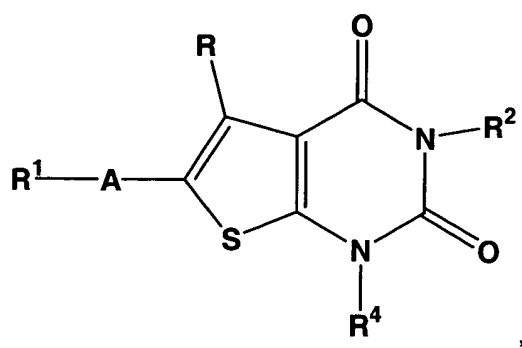


- 15 wherein each R,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^5$ ,  $R^9$ ,  $R^{10}$ , and  $R^{11}$  are the same or different, where ever they appear, and each is independently selected from the group consisting of hydrogen,  $(C_1-C_6)$ alkyl-,  $(C_2-C_6)$ alkenyl-,  $(C_2-C_6)$ alkynyl-,  $(C_3-C_{10})$ cycloalkyl-,  $(C_6-C_{10})$ aryl-,  $(C_1-C_{10})$ heterocyclyl-,  $(C_1-C_{10})$ heteroaryl-,  $(C_3-C_{10})$ cycloalkyl- $(C_1-C_6)$ alkyl-,  $(C_6-C_{10})$ aryl- $(C_1-C_6)$ alkyl-,  $(C_1-C_{10})$ heterocyclyl- $(C_1-C_6)$ alkyl-,  $(C_1-C_{10})$ heteroaryl- $(C_1-C_6)$ alkyl-,  $(C_3-C_{10})$ cycloalkyl- $(C_2-C_6)$ alkenyl-,  $(C_6-C_{10})$ aryl- $(C_2-C_6)$ alkenyl-,  $(C_1-C_{10})$ heterocyclyl- $(C_2-C_6)$ alkenyl-,  $(C_6-C_{10})$ aryl- $(C_2-C_6)$ alkenyl-,  $(C_1-C_{10})$ heteroaryl- $(C_2-C_6)$ alkenyl-,  $(C_3-C_{10})$ cycloalkyl- $(C_2-C_6)$ alkynyl-,  $(C_6-C_{10})$ aryl- $(C_2-C_6)$ alkynyl-,  $(C_1-C_{10})$ heterocyclyl- $(C_2-C_6)$ alkynyl-,  $(C_1-$
- 20

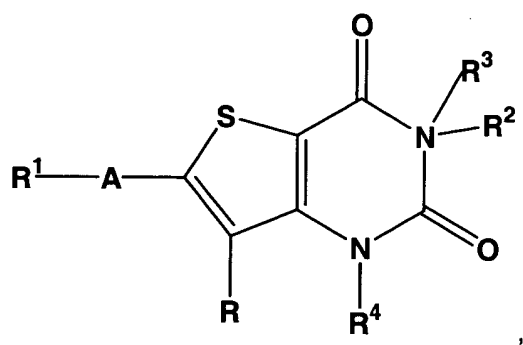
- C<sub>10</sub>)heteroaryl-(C<sub>2</sub>-C<sub>6</sub>)alkynyl-; wherein each of the aforesaid group members, (C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>2</sub>-C<sub>6</sub>)alkenyl-, (C<sub>2</sub>-C<sub>6</sub>)alkynyl-, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-, (C<sub>1</sub>-C<sub>10</sub>)heterocyclyl-, (C<sub>1</sub>-C<sub>10</sub>)heteroaryl-, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>10</sub>)heterocyclyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>1</sub>-C<sub>10</sub>)heteroaryl-(C<sub>1</sub>-C<sub>6</sub>)alkyl-, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl-(C<sub>2</sub>-C<sub>6</sub>)alkenyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>2</sub>-C<sub>6</sub>)alkenyl-, (C<sub>1</sub>-C<sub>10</sub>)heterocyclyl-(C<sub>2</sub>-C<sub>6</sub>)alkenyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>2</sub>-C<sub>6</sub>)alkenyl-, (C<sub>1</sub>-C<sub>10</sub>)heteroaryl-(C<sub>2</sub>-C<sub>6</sub>)alkenyl-, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl-(C<sub>2</sub>-C<sub>6</sub>)alkynyl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>2</sub>-C<sub>6</sub>)alkynyl-, (C<sub>1</sub>-C<sub>10</sub>)heterocyclyl-(C<sub>2</sub>-C<sub>6</sub>)alkynyl-, and (C<sub>1</sub>-C<sub>10</sub>)heteroaryl-(C<sub>2</sub>-C<sub>6</sub>)alkynyl-, may be optionally independently substituted with one to three suitable substituents selected from the group consisting of hydrogen, halogen, hydroxy, -CN, (C<sub>1</sub>-C<sub>4</sub>)alkyl-, (C<sub>1</sub>-C<sub>4</sub>)alkoxy-, CF<sub>3</sub>-, CF<sub>3</sub>O-, (C<sub>6</sub>-C<sub>10</sub>)aryl-, (C<sub>1</sub>-C<sub>10</sub>)heteroaryl-, (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>4</sub>)alkyl-, (C<sub>1</sub>-C<sub>10</sub>)heteroaryl-(C<sub>1</sub>-C<sub>4</sub>)alkyl-, HO(C=O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-(O)(C=O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-(O)(C=O)(C<sub>1</sub>-C<sub>4</sub>)alkyl-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-(C=O)-, (C<sub>1</sub>-C<sub>4</sub>)alkyl-(C=O)(C<sub>1</sub>-C<sub>4</sub>)alkyl-, -(S=O)R, -(SO<sub>2</sub>)R, and NR<sup>7</sup>R<sup>8</sup> wherein R<sup>7</sup> and R<sup>8</sup> are independently selected from hydrogen and (C<sub>1</sub>-C<sub>6</sub>)alkyl;
- wherein each R, R<sup>3</sup>, R<sup>5</sup>, R<sup>9</sup>, R<sup>10</sup>, and R<sup>11</sup> may further be independently hydrogen;
- R<sup>4</sup> is selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>6</sub>)alkyl-, and R<sup>4</sup> may be optionally substituted with one to three suitable substituents selected from the group consisting of halogen, hydroxy, -CN, CF<sub>3</sub>-, and CF<sub>3</sub>O-;
- m is an integer from 0-3; or
- a pharmaceutically acceptable salt thereof.

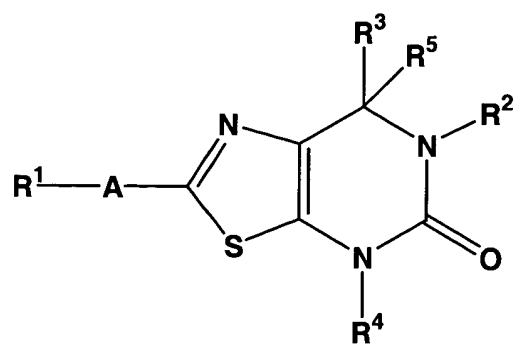
2. A compound according to claim 1 selected from the group consisting of:



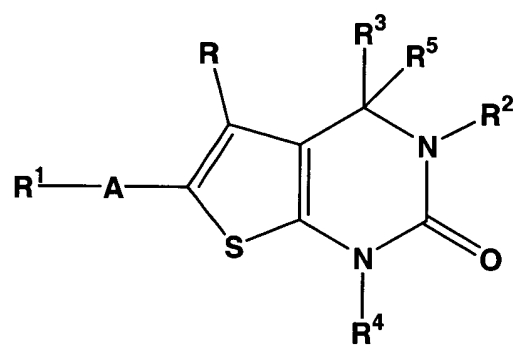


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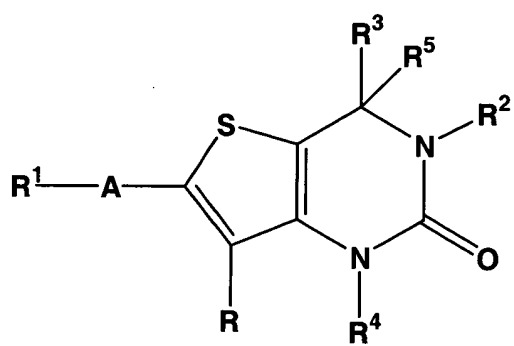


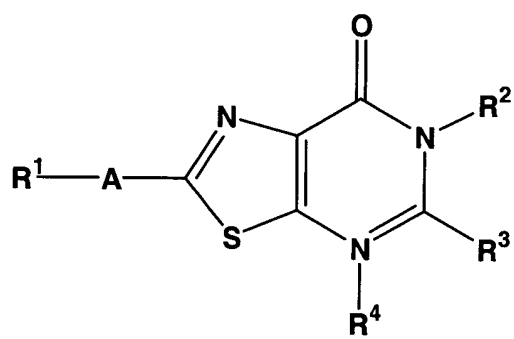
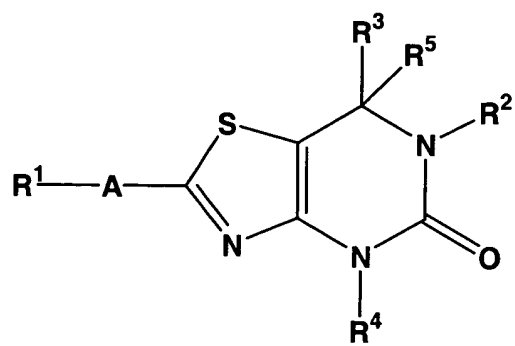


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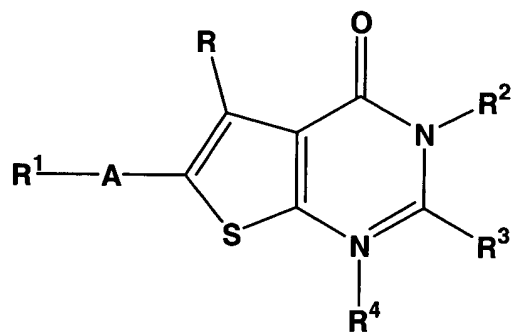


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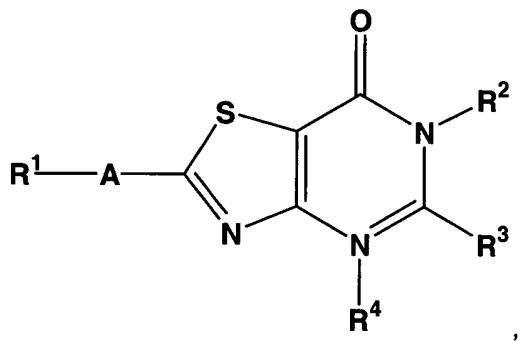
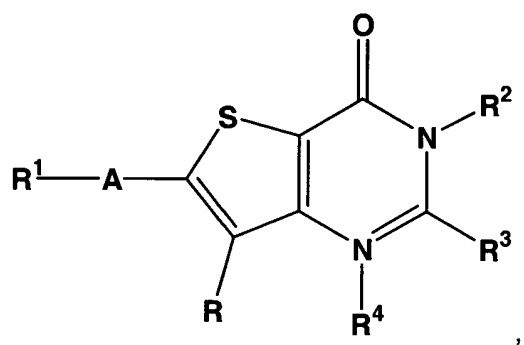




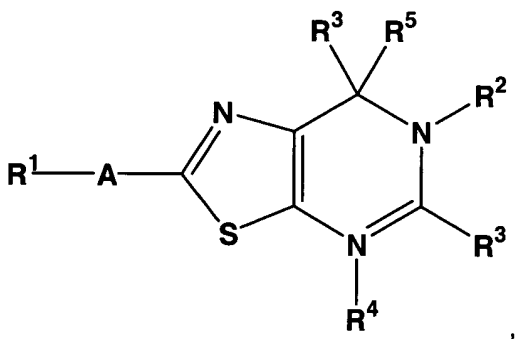
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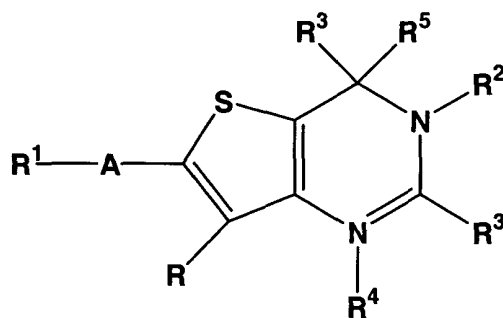
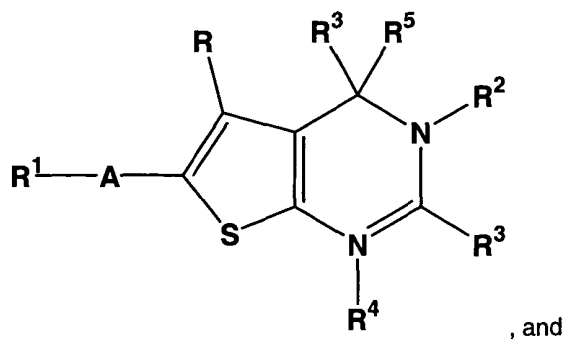


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or a pharmaceutically acceptable salt thereof.

- 10 3. The compound according to Claim 1, wherein R¹ is selected from (C₃-C₁₀)cycloalkyl-, (C₁-C₆)alkyl-, (C₆-C₁₀)aryl-(C₁-C₆)alkyl-, (C₁-C₁₀)heterocyclyl-(C₁-C₆)alkyl-, (C₁-C₁₀)heteroaryl-(C₁-C₆)alkyl-, (C₃-C₁₀)cycloalkyl-(C₂-C₆)alkenyl-, (C₆-C₁₀)aryl-(C₂-C₆)alkenyl-, (C₁-C₁₀)heterocyclyl-(C₂-C₆)alkenyl-, (C₁-C₁₀)heteroaryl-(C₂-C₆)alkenyl-, (C₃-C₁₀)cycloalkyl-(C₂-C₆)alkynyl-, (C₆-C₁₀)aryl-(C₂-C₆)alkynyl-, (C₁-C₁₀)heterocyclyl-(C₂-C₆)alkynyl-, and (C₁-C₁₀)heteroaryl-(C₂-C₆)alkynyl-.
- 15

4. The compound according to Claim 1, wherein R² is selected from (C₃-C₁₀)cycloalkyl-, (C₁-C₆)alkyl-, (C₆-C₁₀)aryl-(C₁-C₆)alkyl-, (C₁-C₁₀)heterocyclyl-(C₁-C₆)alkyl-, (C₁-C₁₀)heteroaryl-(C₁-C₆)alkyl-, (C₃-C₁₀)cycloalkyl-(C₂-C₆)alkenyl-, (C₆-C₁₀)aryl-(C₂-C₆)alkenyl-, (C₁-C₁₀)heterocyclyl-(C₂-C₆)alkenyl-, (C₆-C₁₀)aryl-(C₂-C₆)alkenyl-, (C₁-C₁₀)heteroaryl-(C₂-C₆)alkenyl-, (C₃-C₁₀)cycloalkyl-(C₂-C₆)alkynyl-, (C₆-C₁₀)aryl-(C₂-C₆)alkynyl-, (C₁-C₁₀)heterocyclyl-(C₂-C₆)alkynyl-, and (C₁-C₁₀)heteroaryl-(C₂-C₆)alkynyl-.
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5. The compound according to any one of Claims 1 to 4, wherein R<sup>1</sup> and R<sup>2</sup> are independently selected from (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>6</sub>)alkyl- and (C<sub>1</sub>-C<sub>10</sub>)heteroaryl-(C<sub>1</sub>-C<sub>6</sub>)alkyl-.
6. The compound according to Claim 1, wherein R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, and R<sup>6</sup> are independently selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>6</sub>)alkyl-.
7. The compound according to Claim 1, selected from the group consisting of:
- 1-Benzyl-3-methyl-2,6-dioxo-2,3,6,9-tetrahydro-1H-purine-8-carboxylic acid benzylamide
- 1-(3,4-Difluoro-benzyl)-3-methyl-2,6-dioxo-2,3,6,9-tetrahydro-1H-purine-8-carboxylic acid benzylamide
- 1-(3,4-Difluoro-benzyl)-3-methyl-2,6-dioxo-2,3,6,9-tetrahydro-1H-purine-8-carboxylic acid (pyridin-4-ylmethyl)-amide
- 1-(3,4-Difluoro-benzyl)-3-methyl-2,6-dioxo-2,3,6,9-tetrahydro-1H-purine-8-carboxylic acid (2-methoxy-pyridin-4-ylmethyl)-amide
- 6-(3,4-Difluoro-benzyl)-4-methyl-5,7-dioxo-4,5,6,7-tetrahydro-thiazolo[5,4-d]pyrimidine-2-carboxylic acid (2-methoxy-pyridin-4-ylmethyl)-amide
- 6-(3,4-Difluoro-benzyl)-4-methyl-5,7-dioxo-4,5,6,7-tetrahydro-thiazolo[5,4-d]pyrimidine-2-carboxylic acid (pyridin-4-ylmethyl)-amide
- 6-(3,4-Difluoro-benzyl)-4-methyl-5,7-dioxo-4,5,6,7-tetrahydro-thiazolo[5,4-d]pyrimidine-2-carboxylic acid benzylamide
- 6-(3,4-Difluoro-benzyl)-4-methyl-5,7-dioxo-4,5,6,7-tetrahydro-oxazolo[5,4-d]pyrimidine-2-carboxylic acid benzylamide
- 6-(3,4-Difluoro-benzyl)-4-methyl-5,7-dioxo-4,5,6,7-tetrahydro-oxazolo[5,4-d]pyrimidine-2-carboxylic acid (pyridin-4-ylmethyl)-amide
- 6-(3,4-Difluoro-benzyl)-4-methyl-5,7-dioxo-4,5,6,7-tetrahydro-oxazolo[5,4-d]pyrimidine-2-carboxylic acid (2-methoxy-pyridin-4-ylmethyl)-amide
- 3-(3,4-Difluoro-benzyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydro-furo[2,3-d]pyrimidine-6-carboxylic acid (2-methoxy-pyridin-4-ylmethyl)-amide
- 3-(3,4-Difluoro-benzyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydro-furo[2,3-d]pyrimidine-6-carboxylic acid (pyridin-4-ylmethyl)-amide
- 3-(3,4-Difluoro-benzyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydro-furo[2,3-d]pyrimidine-6-carboxylic acid benzylamide
- 3-(3,4-Difluoro-benzyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydro-thieno[2,3-d]pyrimidine-6-carboxylic acid benzylamide
- 3-(3,4-Difluoro-benzyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydro-thieno[2,3-d]pyrimidine-6-carboxylic acid (pyridin-4-ylmethyl)-amide



- 3-(3,4-Difluoro-benzyl)-1-methyl-2,4-dioxo-1,2,3,4-tetrahydro-thieno[2,3-d]pyrimidine-6-carboxylic acid (2-methoxy-pyridin-4-ylmethyl)-amide
- 3-(3,4-Difluoro-benzyl)-1-methyl-2,4-dioxo-2,3,4,7-tetrahydro-1H-cyclopentapyrimidine-6-carboxylic acid (2-methoxy-pyridin-4-ylmethyl)-amide
- 5 3-(3,4-Difluoro-benzyl)-1-methyl-2,4-dioxo-2,3,4,7-tetrahydro-1H-cyclopentapyrimidine-6-carboxylic acid (pyridin-4-ylmethyl)-amide
- 1-(3,4-Difluoro-benzyl)-3-methyl-2-oxo-2,3,6,9-tetrahydro-1H-purine-8-carboxylic acid (pyridin-4-ylmethyl)-amide
- 1-(3,4-Difluoro-benzyl)-3-methyl-2-oxo-2,3,6,9-tetrahydro-1H-purine-8-carboxylic acid (pyridin-3-ylmethyl)-amide
- 10 1-(3,4-Difluoro-benzyl)-3-methyl-2-oxo-2,3,6,9-tetrahydro-1H-purine-8-carboxylic acid benzylamide
- 6-(3,4-Difluoro-benzyl)-4-methyl-5-oxo-4,5,6,7-tetrahydro-thiazolo[5,4-d]pyrimidine-2-carboxylic acid benzylamide, and
- 15 6-(3,4-Difluoro-benzyl)-4-methyl-5-oxo-4,5,6,7-tetrahydro-thiazolo[5,4-d]pyrimidine-2-carboxylic acid (pyridin-3-ylmethyl)-amide, or a pharmaceutically acceptable salt thereof.
8. A pharmaceutical composition for the treatment of a condition selected from the group consisting of connective tissue disorders, inflammatory disorders, immunology/allergy disorders, infectious diseases, respiratory diseases, cardiovascular diseases, eye diseases, metabolic diseases, central nervous system (CNS) disorders, liver/kidney diseases, reproductive health disorders, gastric disorders, skin disorders and cancers in a mammal, including a human, comprising an amount of a compound of Claim 1, or a pharmaceutically acceptable salt thereof, effective in such treatment and a pharmaceutically acceptable carrier.
- 20
- 25 9. The pharmaceutical composition according to Claim 8, comprising a compound according to Claim 7, or a pharmaceutically acceptable salt thereof, admixed with a pharmaceutically acceptable carrier, excipient, or diluent.
- 30 10. A method for treating arthritis, comprising administering to a patient suffering from an arthritis disease a nontoxic antiarthritic effective amount of a compound of any of the preceding claims.
- 35 11. The method according to Claim 10, wherein the arthritis is osteoarthritis or rheumatoid arthritis.
12. The method according to Claim 11, wherein the compound administered is a compound according to Claim 7, or a pharmaceutically acceptable salt thereof.